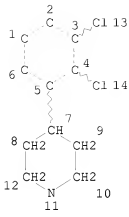


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SAMPLE SCREEN SEARCH COMPLETED -      646 TO ITERATE

100.0% PROCESSED      646 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        11396 TO    14444
PROJECTED ANSWERS:               0 TO        0

L7            0 SEA SSS SAM L6

=> s l6 ful
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FULL SCREEN SEARCH COMPLETED -    13295 TO ITERATE

100.0% PROCESSED    13295 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.01

L8            5 SEA SSS FUL L6

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   179.28     288.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -17.60

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FILE COVERS 1907 - 11 Mar 2008 VOL 148 ISS 11
FILE LAST UPDATED: 10 Mar 2008 (20080310/ED)

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They are available for your review at:

http://www.cas.org/infopolicy.html

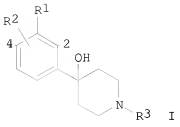
=> s l8
L9 5 L8

=> d bib abs hitstr 1-5

L9 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1330489 CAPLUS
DN 144:51459
TI Preparation of substituted piperidines as modulators of dopamine neurotransmission
IN Sonesson, Clas; Swanson, Lars; Waters, Nicholas
PA A. Carlsson Research AB, Swed.
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005121092	A1	20051222	WO 2005-EP6152	20050608
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005251908	A1	20051222	AU 2005-251908	20050608
	CA 2569842	A1	20051222	CA 2005-2569842	20050608
	EP 1765779	A1	20070328	EP 2005-750549	20050608
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 101076517	A	20071121	CN 2005-80023190	20050608
	JP 2008501748	T	20080124	JP 2007-526288	20050608
	MX 2006PA13945	A	20071008	MX 2006-PA13945	20061130
	US 2007270467	A1	20071122	US 2006-567886	20061207
PRAI	SE 2004-1465	A	20040608		
	US 2004-577767P	P	20040608		
	WO 2005-EP6152	W	20050608		

OS CASREACT 144:51459; MARPAT 144:51459
GI



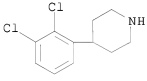
I

AB Title compds. I [R1 = OSO2CF3, OSO2CH3, OCF3, etc.; R2 at the 2-position = H, OH, NH2, etc.; R2 at the 4-position = H, CN, CF3, etc.; R3 = alkyl, allyl, CH2CH2OCH3, etc.; with some provisions] are prepared For instance, 4-[2-fluoro-3-(trifluoromethyl)phenyl]-1-propylpiperidin-4-ol (II) is prepared from 3-bromo-2-fluorobenzotrifluoride and 1-propyl-4-piperidone (THF, n-BuLi, -78°, 30 min) in 45% yield. II had ED50 = 9.0 μmol/kg for the increase of DOPAC (3,4-dihydroxyphenylacetic acid) in the rat striatum. I have therapeutic effects against disorders in the central nervous system.

IT 187835-01-4, 4-(2,3-Dichlorophenyl)piperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted piperidines as modulators of dopamine neurotransmission)

RN 187835-01-4 CAPLUS

CN Piperidine, 4-(2,3-dichlorophenyl)- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:55225 CAPLUS

DN 142:134473

TI Preparation of substituted indole ligands as ORL-1 receptor modulators

IN Consonni, Alessandra; Del Sordo, Simone; Farina, Carlo; Gagliardi, Stefania; Ronzoni, Silvano; Vallese, Stefania

PA Glaxosmithkline S.P.A., Italy

SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005005411	A1	20050120	WO 2004-EP7294	20040701
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

EP 1648881 A1 20060426 EP 2004-763092 20040701
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR

US 2007197603 A1 20070823 US 2007-561282 20070201

PRAI IT 2003-MI1378 A 20030704
IT 2003-MI1379 A 20030704
WO 2004-EP7294 W 20040701

OS MARPAT 142:134473

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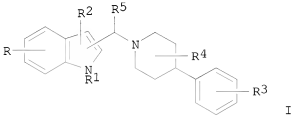

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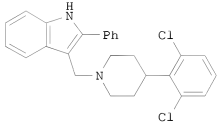


II

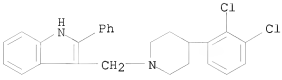
AB Title compds. represented by the formula I [wherein R = independently H, halo, (perhalo)alkyl, alkoxy, etc.; R1 = H, (aryl)alkyl, alkenyl, etc.; R2 = cycloalkyl, (hetero)aryl, alkoxycarbonyl, etc.; R3 = independently H, halo, alkoxy, (alkyl)amino, etc.; R4 = H or HOCH2; R5 = H or alkyl; and pharmaceutically acceptable salts or solvates thereof] were prepared as ORL-1 receptor modulators (no data). For example, reaction of 4-(2,6-dichlorophenyl)piperidine with 2-phenyl-1H-indole and formaldehyde gave II. Thus, I and their pharmaceutical compns. are useful in the prophylaxis and treatment of illnesses dependent on modulation of the ORL-1 receptor (no data).

IT 827015-71-4P, 3-[4-(2,3-Dichlorophenyl)piperidin-1-ylmethyl]-2-phenyl-1H-indole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(phenylpiperidinyl)methyl]indole ligands as ORL-1 receptor modulators)

RN 827015-71-4 CAPLUS

CN 1H-Indole, 3-[[4-(2,3-dichlorophenyl)-1-piperidinyl]methyl]-2-phenyl- (CA

INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:301077 CAPLUS
DN 138:304309
TI Preparation of 2-(heterocyclylalkyl)-1,2,3,4-tetrahydroquinolines and analogs as 5-HT1A receptor inhibitors for treatment of urinary tract disorders
IN Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Testa, Rodolfo; Corbett, Jeff W.
PA Recordati S.A., Switz.; Recordati Industria Chimica e Farmaceutica S.p.A.
SO PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003031436	A1	20030417	WO 2002-EP11282	20021007
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IT 2001MI2060	A1	20030407	IT 2001-MI2060	20011005
CA 2458456	A1	20030417	CA 2002-2458456	20021007
AU 2002346979	A1	20030422	AU 2002-346979	20021007
US 2003162777	A1	20030828	US 2002-266104	20021007
US 2003181446	A1	20030925	US 2002-266088	20021007
EP 1432701	A1	20040630	EP 2002-782863	20021007
EP 1432701	B1	20051221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013067	A	20040928	BR 2002-13067	20021007
HU 2004001598	A2	20041228	HU 2004-1598	20021007
CN 1564820	A	20050112	CN 2002-819728	20021007
JP 2005508952	T	20050407	JP 2003-534419	20021007
NZ 532511	A	20051028	NZ 2002-532511	20021007
AT 313540	T	20060115	AT 2002-782863	20021007
ES 2253568	T3	20060601	ES 2002-782863	20021007
AP 1705	A	20070228	AP 2004-2997	20021007
IN 2004KN00392	A	20060414	IN 2004-KN392	20040324
MX 2004PA02962	A	20050620	MX 2004-PA2962	20040330
NO 2004001833	A	20040705	NO 2004-1833	20040504
ZA 2004003356	A	20041108	ZA 2004-3356	20040504

HK 1067362 A1 20060804 HK 2004-107812 20041011
PRAI IT 2001-MI2060 A 20011005
US 2002-350680P P 20020122
WO 2002-EP11282 W 20021007
OS MARPAT 138:304309
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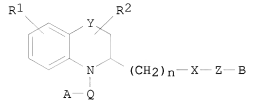

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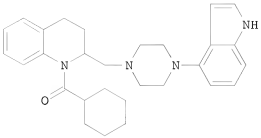


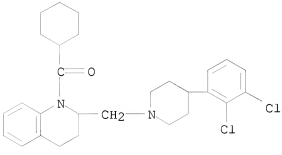
II

AB Title compds. I [wherein R1 = H, halo, OH, (halo)alkyl, (halo)alkoxy, NO2, NR3R4, or (un)substituted Ph or heterocyclyl; R2 = 1 or 2 substituents selected from H or alkyl; R3 and R4 = independently H, alkyl, acyl, or alkoxycarbonyl; Y = a bond or CH2; Q = CO, CS, or SO2; A = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, aryl, heterocyclyl, (di)alkylamino, arylamino, or arylalkylamino; n = 1 or 2; X = (un)substituted piperidinyl or piperazinyl; Z = a bond, O, S, CH2, CH2CH2, CO, CHOH, OCH2, NH, NHCO, or NHCONHCH2; or ZB = 2,3-dihydrobenzo[1,4]dioxin-2-yl; B = (un)substituted monocyclic or bicyclic (hetero)aryl; with provisos; and enantiomers, diastereomers, N-oxides, crystalline forms, hydrates, solvates, or pharmaceutically acceptable salts thereof] were prepared as serotonergic receptor antagonists. For example, coupling of 2-chloromethylquinoline with 1-(4-indolyl)piperazine in the presence of DIPEA in DMF gave 1-(4-indolyl)-4-(quinolin-2-ylmethyl)piperazine (70%), which was hydrogenated using PtO2/AcOH/H2 to provide the tetrahydroquinoline derivative (76.5%). Amidation with cyclohexanecarbonyl chloride in the presence of TEA in CH2Cl2 afforded II (81%). The (+)- and (-)-enantiomers were separated via chiral column chromatog. II inhibited the human 5HT1A-serotonergic receptor in transfected HeLa cells with Ki of 3.3 nM, while (+)-II showed a binding affinity with Ki of 0.2 nM. Similarly, (+)-II proved more effective than II in suppressing the frequency of rhythmic bladder-voiding contractions in rats with ED50 values of 24 μg/kg and 64 μg/kg, resp. In addition, (+)-II exhibited significant and long-lasting post-synaptic 5-HT1A-receptor antagonist activity by suppressing forepaw treading induced by 8-OH-DPAT in rats with 100% inhibition after 0.5 h and 98% inhibition after 4 h of administration of a dose of 1 mg/kg p.o. By contrast, (-)-II showed only 19% inhibition after 0.5 h and 5% inhibition after 4 h of administration of a dose of 1 mg/kg p.o.
IT 511233-62-8P, 1-[1-(Cyclohexylcarbonyl)-1,2,3,4-tetrahydroquinolin-2-ylmethyl]-4-(2,3-dichlorophenyl)piperidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-HT1A antagonist; preparation of (aminoalkyl)- and (heterocyclylalkyl)tetrahydroquinoline 5-HT1A antagonists from haloalkylquinolines and amines or heterocycles for treatment of urinary tract and CNS disorders)

RN 511233-62-8 CAPLUS

CN Quinoline, 1-(cyclohexylcarbonyl)-2-[[4-(2,3-dichlorophenyl)-1-piperidinyl]methyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:199317 CAPLUS

DN 132:236993

TI Preparation of cinnamamides and 3-phenylpropionamides as 5-HT1A receptor antagonists and their use as quick-acting antidepressants

IN Kuroita, Takanobu; Bogauchi, Masahiro; Nishiyama, Akira; Morio, Yasunori

PA Yoshitomi Pharmaceutical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 79 pp.
CODEN: JKXXAF

DT Patent

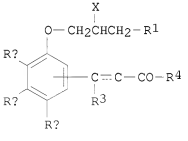
LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2000086603	A	20000328	JP 1999-199662	19990713
PRAI JP 1998-199934	A	19980715		

OS MARPAT 132:236993

GI



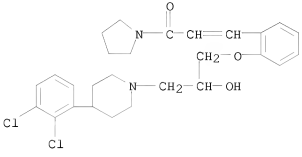
I

AB The amides I [X = H, OH, C1-8 alkoxy, halo; R1 = substituted cycloalkylamino, alkylamino, piperidino, piperazino, etc.; R3 = H, C1-18

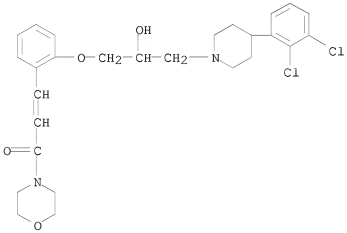
alkyl, halo; R4 = (alkyl)amino, pyrrolidino, morpholino, etc.; Ra, Rb, Rc = H, alkyl(oxy), OH, halo, acyl, etc.; RaRb, RbRc may form (CH2)4, CH:CHCH:CH] are prepared 3-[2-(2,3-Epoxypropan-1-yloxy)phenyl]propionamide was refluxed with 4-benzylpiperidine in EtOH to give an oily product, which was treated with p-toluenesulfonic acid to afford 2-H2NCO(CH2)2C6H4OCH2CH(OH)CH2Z tosylate (Z = 4-benzylpiperidino).

IT 262269-80-7P 262270-39-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-HT1A receptor antagonists as quick-acting antidepressants)

RN 262269-80-7 CAPLUS
CN Pyrrolidine, 1-[3-[2-[3-[4-(2,3-dichlorophenyl)-1-piperidinyl]-2-hydroxypropoxy]phenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

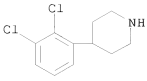


RN 262270-39-3 CAPLUS
CN Morpholine, 4-[3-[2-[3-[4-(2,3-dichlorophenyl)-1-piperidinyl]-2-hydroxypropoxy]phenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)



IT 187835-01-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 5-HT1A receptor antagonists as quick-acting antidepressants)

RN 187835-01-4 CAPLUS
CN Piperidine, 4-(2,3-dichlorophenyl)- (CA INDEX NAME)



L9 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1997:215769 CAPLUS
DN 126:199585
TI Preparation of fused triazole compounds as antipsychotic agents
IN Tanaka, Hiroshi; Kuroita, Takanobu; Ishibuchi, Seigo; Ushio, Hiroyuki; Futamura, Takashi; Ohashi, Yoshitaka; Yano, Kazuhiro
PA Yoshitomi Pharmaceutical Industries, Ltd., Japan
SO PCT Int. Appl., 286 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9703986	A1	19970206	WO 1996-JP2004	19960717
	W: AL, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	JP 09151186	A	19970610	JP 1996-4034	19960112
	AU 9664700	A	19970218	AU 1996-64700	19960717
PRAI	JP 1995-182814	A	19950719		
	JP 1995-253763	A	19950929		
	JP 1996-3055	A	19960111		
	JP 1996-4034	A	19960112		
	WO 1996-JP2004	W	19960717		

OS MARPAT 126:199585
GI For diagram(s), see printed CA Issue.
AB The title compds. (I; R1, R2 = H, halo, NO2, NH2, etc.; W = CH2, O, S, SO, SO2, etc.; X = CH2, CH2CH2, CH:CH; Y = C1-8 linear or branched alkylene; Z = NH2, alkylamino, etc.), optical isomers thereof, pharmaceutically acceptable salts thereof, are prepared I, having selective and potent blocking effects on D4 receptor, are useful as antipsychotic agents for diseases such as schizophrenia. Thus, compound (II) (preparation given) was reacted with 1-[2-(4-chlorophenyl)ethyl]piperidine-4-carbohydrazine in the presence of 1,3-dimethyl-2-imidazolidinone to give the title compound (III). III showed Ki of 1.7 nM for D4 receptor when tested on D4.2/pCEP4-293 cells.
IT 187835-01-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fused triazole compds. as antipsychotic agents)
RN 187835-01-4 CAPLUS
CN Piperidine, 4-(2,3-dichlorophenyl)- (CA INDEX NAME)